

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP03/08079

A. CLASSIFICATION OF SUBJECT MATTER

Int.C1⁷ A61K31/47, 31/496, 31/5377, 45/00, C07D215/18, 215/42, 215/50, 215/52, A61P1/00, 3/10, 9/00, 9/10, 9/12, 11/00, 13/12, 15/00, 19/10, 25/00, 25/04, 25/14, 25/16, 25/28, 29/00, 35/00, 37/02, 37/08, 43/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.C1⁷ A61K31/47, 31/496, 31/5377, 45/00, C07D215/18, 215/42, 215/50, 215/52, A61P1/00, 3/10, 9/00, 9/10, 9/12, 11/00, 13/12, 15/00, 19/10, 25/00, 25/04, 25/14, 25/16, 25/28, 29/00, 35/00, 37/02, 37/08, 43/00

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
 REGISTRY (STN), CAPLUS (STN), CAOLD (STN), MEDLINE (STN),
 BIOSIS (STN), EMBASE (STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X A	EP 133244 A2 (E.I.DU PONT DE NEMOURS AND CO.), 20 February, 1985 (20.02.85), Full text & JP 60-042367 A & AU 8430852 A & CA 1288436 A & FI 8402928 A & NO 8402969 A	1-11, 13-17, 19, 21-25, 27 12, 18, 26
X A	EP 362578 A1 (E.I.DU PONT DE NEMOURS AND CO.), 11 April, 1990 (11.04.90), Full text & JP 02-121923 A	1-11, 13-17, 19, 21-25, 27 12, 18, 26
X A	CHEM Shih Foun et al., Structure-activity relationship of quinoline carboxylic acids., A new class of inhibitors of dihydroorotate dehydrogenase, Biochemical Pharmacology, (1990), Vol.40, No.4, p.709-14	1-11, 13-17, 19, 21-25, 27 12, 18, 26

☒ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"A" document defining the general state of the art which is not considered to be of particular relevance	"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"E" earlier document but published on or after the international filing date	"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"&" document member of the same patent family
"O" document referring to an oral disclosure, use, exhibition or other means	
"P" document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search
01 September, 2003 (01.09.03)

Date of mailing of the international search report
07 October, 2003 (07.10.03)

Name and mailing address of the ISA/
Japanese Patent Office

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C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 02/36568 A1 (ASTRAZENECA AB.), 10 May, 2002 (10.05.02), Full text; particularly, examples 15, 16, 23, 29 & AU 2002012896 A	1-9, 16, 17, 21-25
X	BECIC Fahir et al., Preliminary definition of analgesic effect of newly synthesized derivatives of pyrazoline and quinolinecarboxylic acids, Periodicum Biologorum, (2001), Vol.103, No.4, pages 321 to 325	1-4, 9, 13, 14, 16, 17, 21-25
X	BALA Marian et al., Synthesis and preliminary pharmacological screening of 4-mehtylamino-2- phenylquinoline-3-carboxamides, Polish Journal of Pharmacology and Pharmacy, (1986), Vol.38, No.1, p.115-24	1, 4, 9, 16, 17, 21-25
X	CHUJO Iwao et al., Synthetic study on novel immunosuppressant KF20444, Bioorganic & Medicinal Chemistry, (2001), Vol.9, No.12, pages 3273 to 3286, particularly, compounds 16d, 17d	9-11, 13-15
X	MORREALE Antonio et al., Arylpiperazines with Serotonin-3-Antagonist Activity: A Comparative Molecular Field Analysis, J.Med.Chem., (1998), Vol.41, No.12, pages 2029 to 2039, particularly, compounds 18f	9-11, 13
X	US 5780634 A (THE GREEN CROSS CORP.), 14 July, 1998 (14.07.98), Example 36 & JP 06-016641 A & JP 06-016659 A	9, 13, 14
X	WO 00/31037 A1 (SMITHKLINE BEECHAM S.P.A.), 02 June, 2000 (02.06.00), Full text; particularly, Claims; examples description A, B, 3, 5, 8, 23, 24, 27, 28, 30 & EP 1131295 A1 & BR 9915475 A & NO 2001002473 A	1, 2, 4-8, 9, 13, 14, 22, 24
X	WO 02/44165 A1 (GLAXOSMITHKLINE SPA), 06 June, 2002 (06.06.02), Full text & AU 2002026356 A	1, 2, 4-8, 22, 24
X	WO 02/38547 A1 (GLAXOSMITHKLINE SPA), 16 May, 2002 (16.05.02), Full text & EP 1334089 A1 & AU 2002020702 A	1, 2, 4-8, 22, 24

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C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 97/19927 A1 (SMITHKLINE BEECHAM S.P.A.), 05 June, 1997 (05.06.97), Full text & JP 2000-512614 A & EP 874827 A1	1, 2, 4-8, 22 24
X	WO 97/19926 A1 (SMITHKLINE BEECHAM S.P.A.), 05 June, 1997 (05.06.97), Full text & JP 2000-513325 A & EP 1019377 A1 & AU 9710318 A & CN 1207729 A & US 2002/068827 A1	1, 2, 4-8, 22, 24
X	WO 95/32948 A1 (SMITHKLINE BEECHAM S.P.A.), 07 December, 1995 (07.12.95), Full text & JP 10-500697 A & EP 940391 A2 & US 5811553 A & CN 1156451 A & AU 9526164 A & CA 2191352 A	1, 2, 4-8, 22, 24
Y A	EP 755685 A1 (MEIJI SEIKA KAISHA LTD.), 29 January, 1997 (29.01.97), Full text & WO 95/28177 A1 & US 5712282 A & CA 2187086 A & AU 9522241 A	20, 32 18, 26
Y A	WO 01/32170 A1 (SWOPE David M.), 10 May, 2001 (10.05.01), Full text & EP 1218003 A1 & US 6380267 B1	20, 32 18, 26
Y A	FUJISHIGE, K. et al., Cloning and Characterization of Novel Human Phosphodiesterase That Hydrolyzes Both cAMP and cGMP (PDE10A), J.Biol.Chem., (1999), Vol.274, No.26, pages 18438 to 18445	20, 32 18, 26
P, X	US 2003/0018047 A1 (PFIZER INC.), 23 January, 2003 (23.01.03), Full text & US 2003/008806 A1 & US 2003/032579 A1	20, 32

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Box I Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 28-31 and 33

because they relate to subject matter not required to be searched by this Authority, namely:

Claims 28-31 and 33 pertain to a method for treatment of the human body by surgery or therapy and to a diagnostic method, and thus relate to a subject matter for which this International Searching Authority is not required to search.

2. ☐ Claims Nos.:

because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.:

because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

The chemical structure common among the compounds represented by the general formula (IA) described in claim 9 is known as shown in, e.g., the documents enumerated in Box C of this international search report. It cannot hence be considered to be an important chemical structural element. Consequently, these groups of inventions are not considered to be so linked as to form a single general inventive concept.

Therefore, this application does not comply with the requirement of unity of invention.

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☒ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

<With Respect to Subject Matters for Search>

Claims 1-4, 8, 9, 13-15, 16-19, and 21-27 involve an extremely large number of compounds. However, the compounds which are supported by the description in the meaning of Article 6 of the PCT and are disclosed in the meaning of Article 5 of the PCT are limited to an extremely small part of the compounds claimed.

Claims 20 and 32 relate to a therapeutic or preventive agent for dyskinesia which contains as an active ingredient a compound defined by the desired nature "phosphodiesterase 10A inhibitory activity." The active ingredient includes all compounds having such nature. However, the compounds which are supported by the description in the meaning of Article 6 of the PCT and are disclosed in the meaning of Article 5 of the PCT are limited to an extremely small part of the compounds claimed. Furthermore, the term "compounds having phosphodiesterase 10A inhibitory activity" cannot be used to specify the scope of compounds having such nature even when the technical common sense at the time of filing of this application is taken into account. Consequently, claims 20 and 32 do not comply with the requirement concerning clearness as provided for in Article 6 of the PCT.

Therefore, a search was made for the parts supported by and disclosed in the description, i.e., mainly for the compounds represented by the general formula (I) in claim 1 in which R³ is (un)substituted biphenyl or (un)substituted piperazin-1-yl and for the relationship between phosphodiesterase 10A inhibitory activity and dyskinesia.